6.4038

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

# SEARCH REQUEST FORM

Requestor's Name: 918152 Serial Number: BERTH

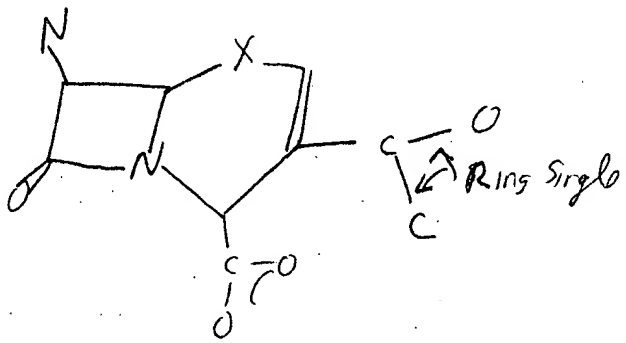
Date: 4/8/02 Phone: 4718 Art Unit: 1624

4D15 4E12

**Search Topic:**

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

X = O/S/C




MARY



Mary Hale – Supervisor, Info. Branch
STIC – Biotech/Chem. Library
CM-1 Room E01
703-308-4258

IIb for claim 21

846
8:30-42

**STAFF USE ONLY**

Date completed: 4/9
Searcher: Mary
Terminal time:
Elapsed time:
CPU time:
Total time: 14
Number of Searches:
Number of Databases:

| Search Site | | Vendors | |
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| | Pre-S | | Dialog |
| **Type of Search** | | | APS |
| | N.A. Sequence | | Geninfo |
| | A.A. Sequence | | SDC |
| | Structure | | DARC/Questel |
| | Bibliographic | | Other |

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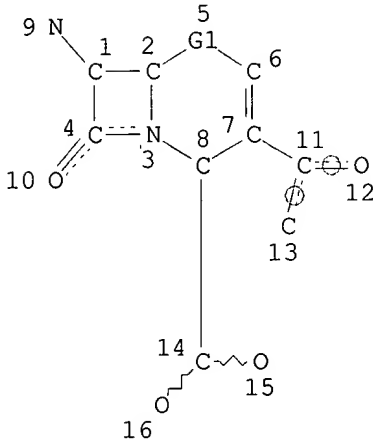
L1 STR




VAR G1=O/S/C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

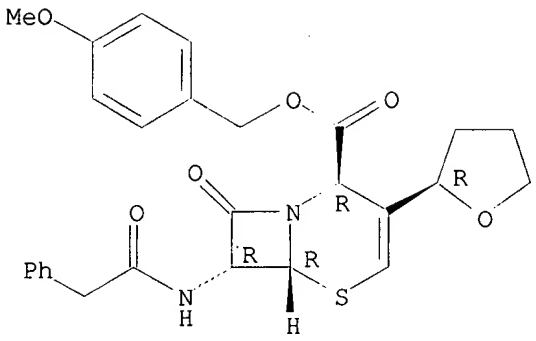
STEREO ATTRIBUTES: NONE
L3 8 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 24 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.03

L3 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 395661-06-0 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[(2R)-tetrahydro-2-furanyl]-,
(4-methoxyphenyl)methyl ester, (2R,6R,7R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H28 N2 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151036 Process for the preparation of cephalosporin compounds and their intermediates. Burton, George; Best, Desmond John; Gasson, Brian Charles; Osborne, Neal Frederick; Walker, Graham (Pfizer Inc., USA). Eur. Pat. Appl. EP 1178049 A1 20020206, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-306325 20010723. PRIORITY: GB 2000-19124 20000803.

GI

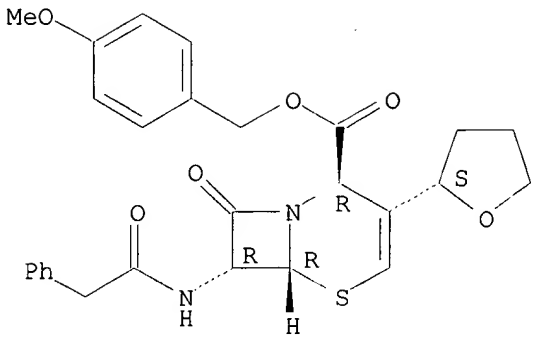
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for prepg. cephalosporins I (R1 = H, OMe, formamido; R2 = acyl; CO2R3 = carboxy group or CO2- or readily removable carboxy protecting group; R4 = H, or up to four substituents from alkyl, alkenyl, alkynyl, alkoxy, halogen, amino, alkyl(acyl)amino, CO2R, CONR2, SO2NR2 (R = H, C1-6 alkyl), aryl, heterocycle, etc.; X = S, SO, SO2, O, CH2; m = 1-2; dotted lines indicate a 2- or 3-cephem system) was accomplished via the cyclization of II. Thus the 3-(R and S)-tetrahydrofuran-2-yl-2-em compds. III were prepd. and the S isomer was converted to the 3-(S)-tetrahydrofuran-2-yl-3-em III in several steps.

L3 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 395661-05-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-[(2S)-tetrahydro-2-furanyl]-, (4-methoxyphenyl)methyl ester, (2R,6R,7R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H28 N2 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151036 Process for the preparation of cephalosporin compounds and their intermediates. Burton, George; Best, Desmond John; Gasson, Brian Charles; Osborne, Neal Frederick; Walker, Graham (Pfizer Inc., USA). Eur. Pat. Appl. EP 1178049 A1 20020206, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-306325 20010723. PRIORITY: GB 2000-19124 20000803.

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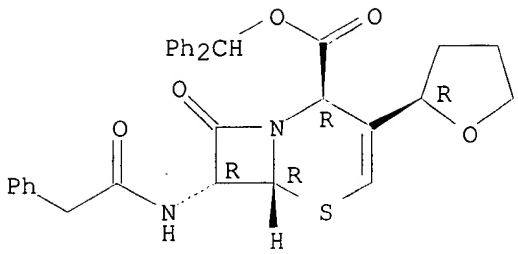
L3 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 395660-98-7 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-[(2R)-tetrahydro-2-furanyl]-, diphenylmethyl ester, (2R,6R,7R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H30 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151036 Process for the preparation of cephalosporin compounds and their intermediates. Burton, George; Best, Desmond John; Gasson, Brian Charles; Osborne, Neal Frederick; Walker, Graham (Pfizer Inc., USA). Eur. Pat. Appl. EP 1178049 A1 20020206, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-306325 20010723. PRIORITY: GB 2000-19124 20000803.

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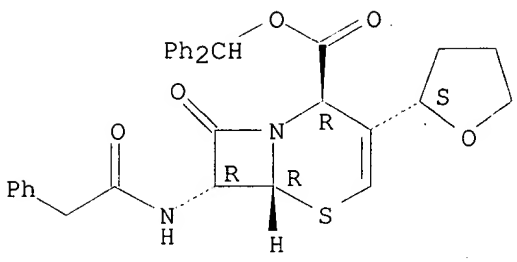
L3 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 395660-97-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-[(2S)-tetrahydro-2-furanyl]-, diphenylmethyl ester, (2R,6R,7R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H30 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151036 Process for the preparation of cephalosporin compounds and their intermediates. Burton, George; Best, Desmond John; Gasson, Brian Charles; Osborne, Neal Frederick; Walker, Graham (Pfizer Inc., USA). Eur. Pat. Appl. EP 1178049 A1 20020206, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-306325 20010723. PRIORITY: GB 2000-19124 20000803.

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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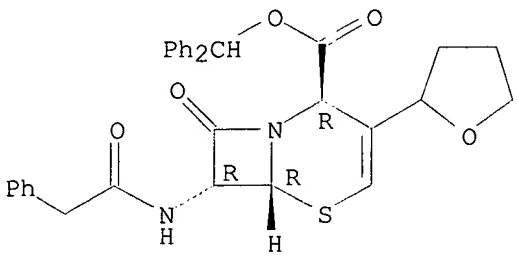
L3 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 191919-05-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-, diphenylmethyl ester, [2R-(2.alpha.,6.alpha.,7.beta.)]-[partial]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H30 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

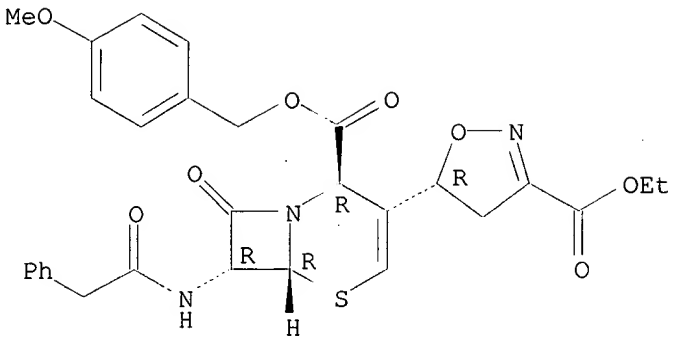
REFERENCE 1: 127:81262 Cycloadditions of Cephalosporins. A Comprehensive Study of the Reaction of Cephalosporin Triflates with Olefins, Acetylenes, and Dienes To Form [2 + 2] and [4 + 2] Adducts. Elliott, Richard L.; Nicholson, Neville H.; Peaker, Fiona E.; Takle, Andrew K.; Richardson, Christine M.; Tyler, John W.; White, Janet; Pearson, Michael J.; Eggleston, Drake S.; Haltiwanger, R. Curtis (New Frontiers Science Park (North), SmithKline Beecham Pharmaceuticals, Harlow/Essex, CM19 5AW, UK). J. Org. Chem., 62(15), 4998-5016 (English) 1997. CODEN: JOCEAH. ISSN: 0022-3263. Publisher: American Chemical Society.

AB Novel polycyclic cephalosporins are formed by the reaction of cephalosporin triflates with various unsatd. compds. in the presence of Hunigs base. 2,3-Fused cyclobutane and cyclobutene cephems are obtained with olefins and acetylenes, resp., whereas [4 + 2] cycloadducts are obtained with furan. The reaction has been rationalized by invoking the intermediacy of a strained 6-membered cyclic allene. The allene undergoes an orbital symmetry allowed concerted .pi.2s + .pi.2a cycloaddn. with olefins and acetylenes and a .pi.4s + .pi.2s cycloaddn. with furan. The regiochem. of the [2 + 2] cycloadducts is independent of the substitution of the unsatd. component and of the oxidn. state of the cephalosporin sulfur atom. However in the case of the [4 + 2] adducts, the sulfur oxidn. state dets. the regiochem. of the addn. Carbacephalosporins also participate in this reaction with olefins but require a stronger base such as DBU. Thus the reaction described provides a facile, one-step procedure for the prodn. of a rich variety of novel polycyclic cephalosporins.

L3 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 146451-30-1 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 3-[3-(ethoxycarbonyl)-4,5-dihydro-5-isoxazolyl]-8-oxo-7-[(phenylacetyl)amino]-, (4-methoxyphenyl)methyl ester, [2R-[2.alpha.,3(R*),6.alpha.,7.beta.]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H29 N3 O8 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:212718 Synthesis and activity of 3-(isoxazolin-5-yl)- and 3-(isoxazol-4-yl)cephalosporins. Koyama, Yoshiyuki; Huang, Shyh Pyng; Ikeda, Daishiro; Kondo, Shinichi; Takeuchi, Tomio (Inst. Microb. Chem., Tokyo, 141, Japan). J. Antibiot., 45(12), 1930-8 (English) 1992. CODEN: JANTAJ. ISSN: 0021-8820.

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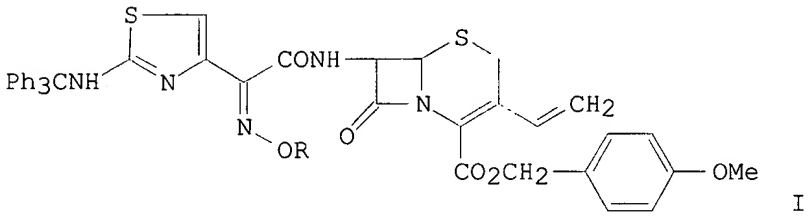

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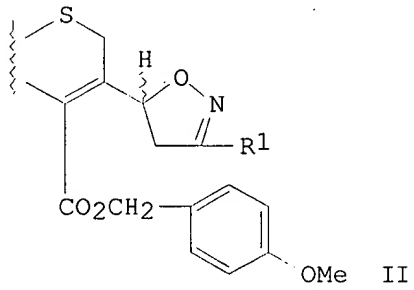


II

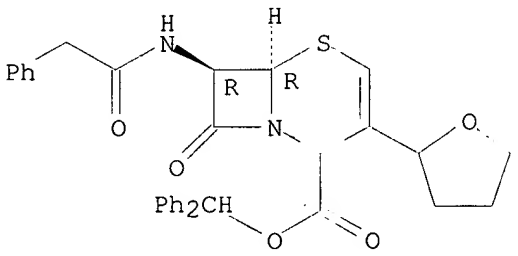
AB The 1,3-dipolar cycloaddn. of nitrile oxides with 3-vinylcephalosporin I (R = H, Me) provided diastereomeric isomers of 3-(isoxazolin-5-yl)cephalosporin II (R = H, Me; R1 = Me, CONH2, CO2Et). Similarly cycloaddn. of nitrile oxides with 3-(dimethylaminovinyl)cephalosporin gave 3-(isoxazol-4-yl)cephalosporins. These semisynthetic cephalosporins with an aminothiazole in the C-7 side chain showed moderate antibacterial activities.

L3 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2002 ACS

Searched by: Mary Hale 308-4258 CM-1 12D16

RN 142369-30-0 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-, diphenylmethyl ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H30 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

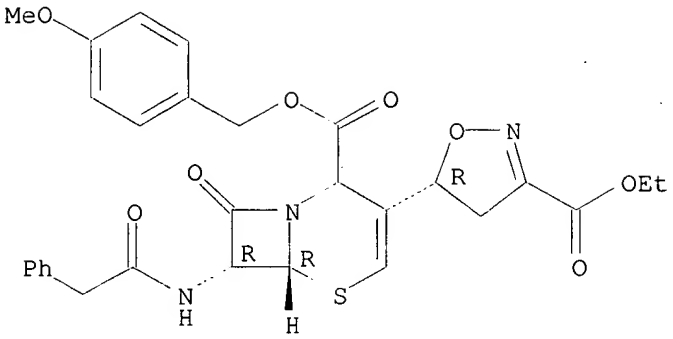
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.
GI For diagram(s), see printed CA Issue.
AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L3 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2002 ACS
RN 131528-26-2 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid, 3-[3-(ethoxycarbonyl)-4,5-dihydro-5-isoxazolyl]-8-oxo-7-[(phenylacetyl)amino]-, (4-methoxyphenyl)methyl ester, [6R-[3(R*),6.alpha.,7.beta.]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H29 N3 O8 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 12D16

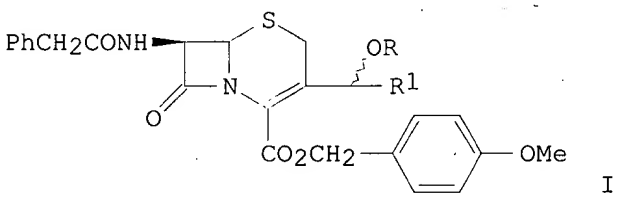


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:61749 Synthesis of 3-isoxazolidinylcephalosporin and its analogs via 1,3-dipolar cycloaddition of 3-vinylcephem. Huang, Shyh Pyng; Ikeda, Daishiro; Koyama, Yoshiyuki; Kondo, Shinichi (Inst. Microb. Chem., Tokyo, 141, Japan). Synlett (7), 391-2 (English) 1990. CODEN: SYNLES.

GI



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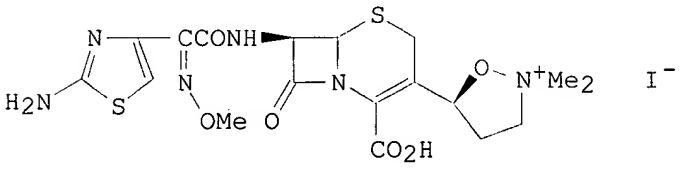

II

AB Cephalosporins I [RR1 = NMeCH2CH2, N+Me2CH2CH2 I-, N:C(CO2Et)CH2] were obtained by treating vinylcephem with CH2:N(O)Me or EtO2CCNO resp. Transacylation gave the cephalosporin II which inhibited Escherichia coli K-12 C600 at 0.05 .mu.g/mL.

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 171.12 | 171.33 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -4.72 | -4.72 |

FILE 'CAOLD' ENTERED AT 08:45:56 ON 09 APR 2002

Searched by: Mary Hale 308-4258 CM-1 12D16

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4 0 L3

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